

Preparation of anagrelide hydrochloride, useful for thrombocyte suppression, using 2,3-dichlorobenzaldehyde as starting material, avoids use of toxic reagents

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Abstract of AT 412873 (B)

Method for preparing 6,7-dichloro-1,5-dihydroimidazo(2,1-b)quinazolin-2(3H)-one hydrochloride (A; anagrelide hydrochloride) starting from 2,3-dichlorobenzaldehyde. - Method for preparing 6,7-dichloro-1,5-dihydroimidazo(2,1-b)quinazolin-2(3H)-one hydrochloride (A; anagrelide hydrochloride) comprises: - (A) nitrating 2,3-dichlorobenzaldehyde (I) to 2,3-dichloro-6-nitrobenzaldehyde (II); - (B) heating (II) with hydroxylamine hydrochloride and acetic anhydride to form 2,3-dichloro-6-nitrobenzoxonitrile (III); - (C) reducing (III) to the 6-amino compound (IV); - (D) reducing (IV) to 2,3-dichloro-6-aminophenylmethylamine dihydrochloride (V); - (E) reacting (V) (i) with ethyl bromoacetate, acetonitrile and triethylamine and (ii) with cyanogen bromide to form the - (F) quinazoline compound (VII); - (G) reacting (VII) under cycloalkylation conditions to form the free base (VIII) of (A); ; and - (H) reacting (VIII) with hydrochloric acid to form (A). - **ACTIVITY** - Antithrombotic. - No details of tests for antithrombotic activity are given. - **MECHANISM OF ACTION** - None given.

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